

FILE 'REGISTRY' ENTERED AT 13:02:07 ON 26 NOV 2008

L1 STRUCTURE UPLOADED
L2 0 S L1
L3 STRUCTURE UPLOADED
L4 0 S L3
L5 0 S L1 SSS FULL
L6 STRUCTURE UPLOADED
L7 0 S L6
L8 0 S L6 SSS FULL
L9 STRUCTURE UPLOADED
L10 15 S L9
L11 STRUCTURE UPLOADED
L12 6 S L11
L13 248 S L11 SSS FULL

FILE 'HCAPLUS' ENTERED AT 13:09:16 ON 26 NOV 2008

L14 512 S L13
L15 1377430 S NUCLEOTIDE OR DNA OR RNA
L16 8 S L14 AND L15
L17 90029 S Silyl OR TMS OR TBDMS OR TRIMETHYLSilyl OR BUTYLDIMETHYLSilyl
L18 7453 S INTERNUCLEOTIDE OR PHOSPHODIESTER
L19 80 S L17 AND L18
L20 75 S L19 AND (PY<2004 OR AY<2004 OR PRY<2004)

=> file registry
COST IN U.S. DOLLARS
FULL ESTIMATED COST

SINCE FILE	TOTAL
ENTRY	SESSION
0.21	0.21

FILE 'REGISTRY' ENTERED AT 13:02:07 ON 26 NOV 2008
USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.
PLEASE SEE "HELP USAGETERMS" FOR DETAILS.
COPYRIGHT (C) 2008 American Chemical Society (ACS)

Property values tagged with IC are from the ZIC/VINITI data file
provided by InfoChem.

STRUCTURE FILE UPDATES: 24 NOV 2008 HIGHEST RN 1075293-66-1
DICTIONARY FILE UPDATES: 24 NOV 2008 HIGHEST RN 1075293-66-1

New CAS Information Use Policies, enter HELP USAGETERMS for details.

TSCA INFORMATION NOW CURRENT THROUGH July 5, 2008.

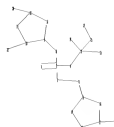
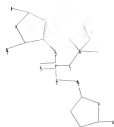
Please note that search-term pricing does apply when
conducting SmartSELECT searches.

REGISTRY includes numerically searchable data for experimental and
predicted properties as well as tags indicating availability of
experimental property data in the original document. For information
on property searching in REGISTRY, refer to:

<http://www.cas.org/support/stngen/stdoc/properties.html>

=>

Uploading C:\Program Files\STNEXP\Queries\10550217silylphos.str



```

chain nodes :
1  3  4  7  8  14  20  21  22  23  24  25  26  27
ring nodes :
9 10 11 12 13 15 16 17 18 19
chain bonds :
1-3 1-4 1-7 1-8 4-23 7-14 8-9 10-21 12-20 14-15 17-22 23-24 23-25 23-26
25-27
ring bonds :
9-10 9-13 10-11 11-12 12-13 15-16 15-19 16-17 17-18 18-19
exact/norm bonds :
1-3 1-4 1-7 1-8 4-23 7-14 8-9 9-10 9-13 10-11 11-12 12-13 12-20 15-16
15-19 16-17 17-18 17-22 18-19
exact bonds :
10-21 14-15 23-24 23-25 23-26 25-27

```

G1:O,S

G2:C,O,S,N

Match level :

1:CLASS 3:CLASS 4:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom
14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS
23:CLASS
24:CLASS 25:CLASS 26:CLASS 27:CLASS

L1 STRUCTURE UPLOADED

=> s l1

SAMPLE SEARCH INITIATED 13:02:52 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 257 TO 903

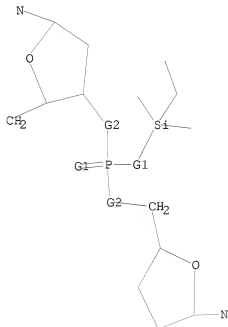
PROJECTED ANSWERS: 0 TO 0

L2 0 SEA SSS SAM L1

=> d l1

L1 HAS NO ANSWERS

L1 STR



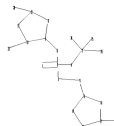
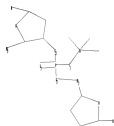
G1 O,S

G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=>

Uploading C:\Program Files\STNEXP\Queries\10550217\silylphos2.str



chain nodes :

1 3 4 7 8 14 20 21 22 23 24 25 26

ring nodes :

9 10 11 12 13 15 16 17 18 19

chain bonds :

1-3 1-4 1-7 1-8 4-23 7-14 8-9 10-21 12-20 14-15 17-22 23-24 23-25 23-26

ring bonds :

9-10 9-13 10-11 11-12 12-13 15-16 15-19 16-17 17-18 18-19

exact/norm bonds :

1-3 1-4 1-7 1-8 4-23 7-14 8-9 9-10 9-13 10-11 11-12 12-13 12-20 15-16

15-19 16-17 17-18 17-22 18-19
exact bonds :
10-21 14-15 23-24 23-25 23-26

G1:O,S

G2:C,O,S,N

Match level :

1:CLASS 3:CLASS 4:CLASS 7:CLASS 8:CLASS 9:Atom 10:Atom 11:Atom 12:Atom
13:Atom
14:CLASS 15:Atom 16:Atom 17:Atom 18:Atom 19:Atom 20:CLASS 21:CLASS 22:CLASS
23:CLASS
24:CLASS 25:CLASS 26:CLASS

L3 STRUCTURE UPLOADED

=> s l3

SAMPLE SEARCH INITIATED 13:03:37 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS

0 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 257 TO 903

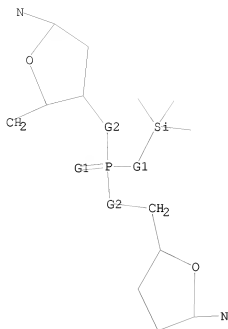
PROJECTED ANSWERS: 0 TO 0

L4 0 SEA SSS SAM L3

=> d l3

L3 HAS NO ANSWERS

L3 STR



G1 O,S

G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> s l1 sss full

FULL SEARCH INITIATED 13:03:51 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 615 TO ITERATE

100.0% PROCESSED 615 ITERATIONS

0 ANSWERS

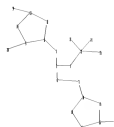
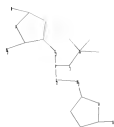
SEARCH TIME: 00.00.01

L5

0 SEA SSS FUL L1

=>

Uploading C:\Program Files\STNEXP\Queries\10550217silylphosphite.str



```

chain nodes :
1  3  6  7 13 19 20 21 22 23 24 25
ring nodes :
8  9 10 11 12 14 15 16 17 18
chain bonds :
1-7 1-3 1-6 3-22 6-13 7-8 9-20 11-19 13-14 16-21 22-23 22-24 22-25
ring bonds :
8-9 8-12 9-10 10-11 11-12 14-15 14-18 15-16 16-17 17-18
exact/norm bonds :
1-7 1-3 1-6 3-22 6-13 7-8 8-9 8-12 9-10 10-11 11-12 11-19 14-15 14-18
15-16 16-17 16-21 17-18
exact bonds :
9-20 13-14 22-23 22-24 22-25

```

G1:O,S

G2:C,O,S,N

Match level :

1:CLASS 3:CLASS 6:CLASS 7:CLASS 8:Atom 9:Atom 10:Atom 11:Atom 12:Atom
13:CLASS
14:Atom 15:Atom 16:Atom 17:Atom 18:Atom 19:CLASS 20:CLASS 21:CLASS 22:CLASS
23:CLASS
24:CLASS 25:CLASS

L6 STRUCTURE UPLOADED

=> s l6

SAMPLE SEARCH INITIATED 13:04:49 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 29 TO ITERATE

100.0% PROCESSED 29 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 257 TO 903
PROJECTED ANSWERS: 0 TO 0

L7 0 SEA SSS SAM L6

=> s l6 sss full

FULL SEARCH INITIATED 13:04:56 FILE 'REGISTRY'

FULL SCREEN SEARCH COMPLETED - 615 TO ITERATE

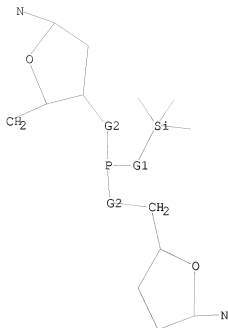
100.0% PROCESSED 615 ITERATIONS 0 ANSWERS
SEARCH TIME: 00.00.01

L8 0 SEA SSS FUL L6

=> d l6

L6 HAS NO ANSWERS

L6 STR



G1 O,S

G2 C,O,S,N

Structure attributes must be viewed using STN Express query preparation.

=> d his

(FILE 'HOME' ENTERED AT 13:01:51 ON 26 NOV 2008)

FILE 'REGISTRY' ENTERED AT 13:02:07 ON 26 NOV 2008

L1	STRUCTURE UPLOADED
L2	0 S L1
L3	STRUCTURE UPLOADED
L4	0 S L3
L5	0 S L1 SSS FULL
L6	STRUCTURE UPLOADED
L7	0 S L6
L8	0 S L6 SSS FULL

=> log hold

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

358.10

358.31

SESSION WILL BE HELD FOR 120 MINUTES

STN INTERNATIONAL SESSION SUSPENDED AT 13:05:10 ON 26 NOV 2008

Connecting via Winsock to STN

Welcome to STN International! Enter x:x

LOGINID:SSPTAEXO1623

PASSWORD:

* * * * * RECONNECTED TO STN INTERNATIONAL * * * * *

SESSION RESUMED IN FILE 'REGISTRY' AT 13:07:11 ON 26 NOV 2008

FILE 'REGISTRY' ENTERED AT 13:07:11 ON 26 NOV 2008

COPYRIGHT (C) 2008 American Chemical Society (ACS)

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

358.10

358.31

=>

Uploading C:\Program Files\STNEXP\Queries\1055021\silylphos3.str



chain nodes :

1 3 6 7 8 9 10 11 12 13

```

chain bonds :
1-7 1-3 1-6 3-9 6-13 7-8 9-10 9-11 9-12
exact/norm bonds :
1-7 1-3 1-6 3-9 6-13 7-8
exact bonds :
9-10 9-11 9-12

```

G1:O,S

G2:C,O,S,N

Match level :

1:CLASS 3:CLASS 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS 11:CLASS 12:CLASS
13:CLASS

L9 STRUCTURE UPLOADED

=> s l9

SAMPLE SEARCH INITIATED 13:07:44 FILE 'REGISTRY'

SAMPLE SCREEN SEARCH COMPLETED - 268 TO ITERATE

100.0% PROCESSED 268 ITERATIONS

15 ANSWERS

SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**

BATCH **COMPLETE**

PROJECTED ITERATIONS: 4378 TO 6342

PROJECTED ANSWERS: 68 TO 532

L10 15 SEA SSS SAM L9

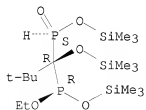
=> d l10 scan

L10 15 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN

IN Phosphonous acid, [2,2-dimethyl-1-[(trimethylsilyl)oxy]-1-
[[[(trimethylsilyl)oxy]phosphinyl]propyl]-, ethyl trimethylsilyl ester,
stereoisomer (9CI)

MF C16 H42 O5 P2 Si3

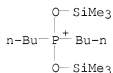
Relative stereochemistry.



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

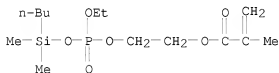
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):3

L10 15 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN Phosphorus(1+), dibutylbis(trimethylsilanolato)-, iodide, (T-4)- (9CI)
 MF C14 H36 O2 P Si2 . I

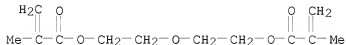


L10 15 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN 2-Propenoic acid, 2-methyl-, oxydi-2,1-ethanediyl ester, polymer with
 4-ethoxy-6,6-dimethyl-4-oxido-3,5-dioxa-4-phospha-6-siladec-1-yl
 2-methyl-2-propenoate (9CI)
 MF (C14 H29 O6 P Si . C12 H18 O5)x
 CI PMS

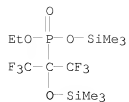
CM 1



CM 2



L10 15 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
 IN Phosphonic acid, [2,2,2-trifluoro-1-(trifluoromethyl)-1-
 [(trimethylsilyl)oxy]ethyl]-, ethyl trimethylsilyl ester (9CI)
 MF C11 H23 F6 O4 P Si2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=>

Uploading C:\Program Files\STNEXP\Queries\10550217\silylphos4.str



```

chain nodes :
1  3  6  7  8  9 10 11 12 13
chain bonds :
1-7 1-3 1-6 3-9 6-13 7-8 9-10 9-11 9-12
exact/norm bonds :
1-7 1-3 1-6 3-9 6-13 7-8
exact bonds :
9-10 9-11 9-12

```

G1:O,S

G2:O,S,N

Match level :

1:CLASS 3:CLASS 6:CLASS 7:CLASS 8:Atom 9:CLASS 10:CLASS 11:CLASS 12:CLASS
13:CLASS

L11 STRUCTURE UPLOADED

=> s l11

SAMPLE SEARCH INITIATED 13:08:48 FILE 'REGISTRY'
SAMPLE SCREEN SEARCH COMPLETED - 228 TO ITERATE

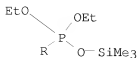
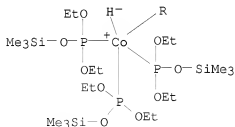
100.0% PROCESSED 228 ITERATIONS 6 ANSWERS
SEARCH TIME: 00.00.01

FULL FILE PROJECTIONS: ONLINE **COMPLETE**
BATCH **COMPLETE**
PROJECTED ITERATIONS: 3655 TO 5465
PROJECTED ANSWERS: 6 TO 266

L12 6 SEA SSS SAM L11

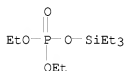
=> d l12 scan

L12 6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Cobalt, tetrakis(diethyl trimethylsilyl phosphite-κP)hydro- (9CI)
MF C28 H77 Co O12 P4 Si4
CI CCS



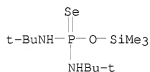
HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):2

L12 6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Phosphoric acid, diethyl triethylsilyl ester
MF C10 H25 O4 P Si



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

L12 6 ANSWERS REGISTRY COPYRIGHT 2008 ACS on STN
IN Phosphorodiamidoselenoic acid, N,N'-bis(1,1-dimethylethyl)-,
O-(trimethylsilyl) ester
MF C11 H29 N2 O P Se Si



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):0

=> s l11 sss full
FULL SEARCH INITIATED 13:09:09 FILE 'REGISTRY'
FULL SCREEN SEARCH COMPLETED - 4947 TO ITERATE

100.0% PROCESSED 4947 ITERATIONS 248 ANSWERS
SEARCH TIME: 00.00.01

L13 248 SEA SSS FUL L11

=> file hcapluis
'HCAPLUIS' IS NOT A VALID FILE NAME
SESSION CONTINUES IN FILE 'REGISTRY'
Enter "HELP FILE NAMES" at an arrow prompt (=>) for a list of files
that are available. If you have requested multiple files, you can
specify a corrected file name or you can enter "IGNORE" to continue
accessing the remaining file names entered.

=> file hcaplus

COST IN U.S. DOLLARS

SINCE FILE

TOTAL

FULL ESTIMATED COST

ENTRY

SESSION

537.38

537.59

FILE 'HCAPLUS' ENTERED AT 13:09:16 ON 26 NOV 2008

USE IS SUBJECT TO THE TERMS OF YOUR STN CUSTOMER AGREEMENT.

PLEASE SEE "HELP USAGETERMS" FOR DETAILS.

COPYRIGHT (C) 2008 AMERICAN CHEMICAL SOCIETY (ACS)

Copyright of the articles to which records in this database refer is held by the publishers listed in the PUBLISHER (PB) field (available for records published or updated in Chemical Abstracts after December 26, 1996), unless otherwise indicated in the original publications. The CA Lexicon is the copyrighted intellectual property of the American Chemical Society and is provided to assist you in searching databases on STN. Any dissemination, distribution, copying, or storing of this information, without the prior written consent of CAS, is strictly prohibited.

FILE COVERS 1907 - 26 Nov 2008 VOL 149 ISS 22

FILE LAST UPDATED: 25 Nov 2008 (20081125/ED)

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the third quarter of 2008.

New CAS Information Use Policies, enter HELP USAGETERMS for details.

This file contains CAS Registry Numbers for easy and accurate substance identification.

=> s l13

L14 512 L13

=> s nucleotide or DNA or RNA

492409 NUCLEOTIDE

927250 DNA

361161 RNA

L15 1377430 NUCLEOTIDE OR DNA OR RNA

=> s l14 and l15

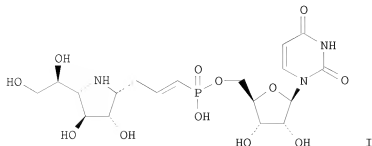
L16 8 L14 AND L15

=> d l16 1-8 ti abs bib

L16 ANSWER 1 OF 8 HCAPLUS COPYRIGHT 2008 ACS ON STN

TI Convergent and Stereoselective Synthesis of Iminosugar-Containing Galf and UDP-Galf Mimicks: Evaluation as Inhibitors of UDP-Gal Mutase

GI



AB The synthesis of a UDP-Galf analog I incorporating a 1,4-dideoxy-1,4-imino-D-galactitol skeleton α -linked to UMP by a 3C-tether and of a series of related pyrrolidine galactofuranose mimicks is reported. These compds. were obtained via the highly stereoselective reaction of silylated nucleophiles with a N-Cbz glucofuranosylamine which afforded the corresponding open-chain product with a 1,2-syn stereochem., as predicted from pioneering studies from Kobayashi. Cyclization of these intermediates afforded α -C-glycosides of imino-galactofuranose carrying various functional groups in the aglycon. Further elaboration of the α -C-allyl substituted derivative by cross-metathesis with a uridin-5'-yl vinylphosphonate provided, after deprotection, the desired original UDP-Galf mimicks. Cleavage of the benzyl ether protecting groups in the iminosugar component using BCl₃ proved critical to the success of the synthetic plan. Several of the new 1,4-dideoxy-1,4-imino-D-galactitol derivs. were evaluated as inhibitors of UGM (UDP-galactopyranose mutase) from *Escherichia coli*; however, none of them exhibited less than mM activities toward this enzyme which catalyzes a crucial step of the biosynthesis of galactofuranose-containing bacterial cell-surface glycans. 2008:355052 HCAPLUS <<LOGINID::20081126>>

AN 148:496256

DN 148:496256

TI Convergent and Stereoselective Synthesis of Iminosugar-Containing Galf and UDP-Galf Mimicks: Evaluation as Inhibitors of UDP-Gal Mutase

AU Liautard, Virginie; Desvergnès, Valerie; Itoh, Kenji; Liu, Hung-wen; Martin, Olivier R.

CS Institut de Chimie Organique et Analytique, CNRS-UMR 6005, Université d'Orléans, Orléans, 45067, Fr.

SO Journal of Organic Chemistry (2008), 73(8), 3103-3115

CODEN: JOCEAH; ISSN: 0022-3263

PB American Chemical Society

DT Journal

LA English

OS CASREACT 148:496256

RE.CNT 61 THERE ARE 61 CITED REFERENCES AVAILABLE FOR THIS RECORD

ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 2 OF 8 HCAPLUS COPYRIGHT 2008 ACS ON STN

TI A Novel Method for the Synthesis of Dinucleoside Boranophosphates by a Borano-Phospho-Triester Method

AB 2'-Deoxyribonucleoside-3'-boranophosphates (nucleotide monomers), including four kinds of nucleobases, were synthesized in good yields by the use of new borano-phosphorylating reagents. We have explored various kinds of condensing reagents as well as nucleophilic catalysts for the borano-phosphorylation reaction with nucleosides. In the synthesis of dinucleoside boranophosphates, undesirable side reactions occurred at the O-4 of thymine and the O-6 of N²-phenylacetyl-guanine bases. To avoid these side reactions, addnl. protecting groups, benzoyl (Bz) and diphenyl-carbamoyl (Dpc) groups, were introduced to thymine and guanine bases, resp. As a result, the condensation reactions proceeded smoothly without any side reactions, and the dimers including four kinds of nucleobases were obtained in excellent yields. In the deprotection of the 5'-DMTr group, Et₃SiH was found to be effective as a scavenger for the DMTr cation which caused a P-B bond cleavage. After removal of the other protecting groups by the conventional procedure, four kinds of dinucleoside boranophosphates were obtained in good yields. 2004:539571 HCAPLUS <<LOGINID::20081126>>

AN 141:243756

DN 141:243756

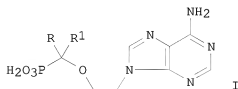
TI A Novel Method for the Synthesis of Dinucleoside Boranophosphates by a Borano-Phospho-Triester Method

AU Shimizu, Mamoru; Wada, Takeshi; Oka, Natsuhisa; Saigo, Kazuhiko

CS Department of Integrated Biosciences, Graduate School of Frontier
 Sciences, University of Tokyo, Chiba, 277-8562, Japan
 SO Journal of Organic Chemistry (2004), 69(16), 5261-5268
 CODEN: JOCEAH; ISSN: 0022-3263
 PB American Chemical Society
 DT Journal
 LA English
 OS CASREACT 141:243756
 RE.CNT 21 THERE ARE 21 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L16 ANSWER 3 OF 8 HCAPLUS COPYRIGHT 2008 ACS ON STN
 TI 2-Pyridylphosphonates: a new type of modification for nucleotide
 analogues
 AB Suitably protected dithymidine H-phosphonates afforded the corresponding
 dinucleoside 2-pyridylphosphonates upon treatment with N-methoxypyridinium
 tosylate in acetonitrile in the presence of
 1,8-diazabicyclo[5.4.0]undec-7-ene (DBU). The reaction was rapid (ca. 5
 min), practically quant. and proceeded stereospecifically, most likely
 with retention of configuration at the phosphorus center. A simple and
 efficient protocol for the preparation of a new type of oligonucleotide analog
 bearing a 2-pyridylphosphonate internucleotide linkage was developed.
 AN 2001:167311 HCAPLUS <<LOGINID:20081126>>
 DN 134:340651
 TI 2-Pyridylphosphonates: a new type of modification for nucleotide
 analogues
 AU Johansson, T.; Kers, A.; Stawinski, J.
 CS Arrhenius Laboratory, Department of Organic Chemistry, Stockholm
 University, Stockholm, S-106 91, Swed.
 SO Tetrahedron Letters (2001), 42(11), 2217-2220
 CODEN: TELEAY; ISSN: 0040-4039
 PB Elsevier Science Ltd.
 DT Journal
 LA English
 OS CASREACT 134:340651
 RE.CNT 23 THERE ARE 23 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

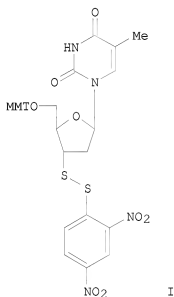
L16 ANSWER 4 OF 8 HCAPLUS COPYRIGHT 2008 ACS ON STN
 TI A simple synthetic route to the preparation of 2-(1-phosphonoalkoxy ethyl
 derivatives of heterocyclic bases as novel nucleotide analogs
 related to PMEAs
 GI



AB Various 1,3-dioxolanes undergo ring-opening in the presence of triesters
 of phosphoric acid and Lewis acids under formation of
 1-(2-hydroxyethoxy)alkanephosphonates. These compds. are the key
 intermediates for the preparation of novel nucleotide analogs, e.g. I
 [R = R1 = H, Me; R = cyclohexyl, R1 = H; RR1 = (CH2)n, n = 4, 5, 7]
 related to 9-(2-phosphonomethoxyethyl)adenine (PMEA).

AN 1996:600871 HCAPLUS <<LOGINID::20081126>>
 DN 125:329232
 OREF 125:61683a,61686a
 TI A simple synthetic route to the preparation of 2-(1-phosphonoalkoxy ethyl derivatives of heterocyclic bases as novel nucleotide analogs related to PMEAs
 AU Rosenberg, Ivan; Kralikova, Sarka
 CS Institute Organic Chemistry Biochemistry, Academy Sciences Czech Republic, Prague, 166 10, Czech Rep.
 SO Collection of Czechoslovak Chemical Communications (1996), 61(Spec. Issue), S81-S84
 CODEN: CCCCAK; ISSN: 0010-0765
 PB Institute of Organic Chemistry and Biochemistry, Academy of Sciences of the Czech Republic
 DT Journal
 LA English
 OS CASREACT 125:329232

L16 ANSWER 5 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
 TI Application of the Michaelis-Arbuzov reaction to the synthesis of internucleoside 3'-S-phosphorothiolate linkages
 GI



AB The S-(aryldisulfanyl)deoxythymidines, e.g. I, have been prepared by the reaction of 5'-O-monomethoxytrityl-3'-thiothymidine with the appropriate arenesulfonyl chloride. These disulfides undergo a Michaelis-Arbuzov reaction with simple trialkyl phosphites to yield 5'-O-monomethoxytrityl-3'-thiothymidin-3'-yl O,O-dialkyl phosphorothiolates. More interestingly, 3'-deoxy-3'-S-(2,4-dinitrophenylsulfanyl)-5'-O-monomethoxytritylthymidine I reacts with a variety of thymidin-5'-yl dialkyl phosphites to give dithymidine phosphorothiolate triesters with the phosphorothiolate group protected with either a Me or a 2-cyanoethyl group.

3'-O-(tert-Butyldimethylsilyl)thymidin-5'-yl triethylammoniumphosphonate (II) is converted into the corresponding bis-(O-trimethylsilyl) phosphite by treatment with bis(trimethylsilyl)trifluoroacetamide. In situ Reaction of this phosphite with disulfide I gives the dithymidine phosphorothiolate diester. Methylation of compound II with Me chloromethanoate, followed by silylation and subsequent reaction with disulfide I, gives the methyl-protected dithymidine phosphorothiolate triester.

AN 1995:47891 HCAPLUS <<LOGINID::20081126>>

DN 122:214405

OREF 122:39211a,39214a

TI Application of the Michaelis-Arbuzov reaction to the synthesis of internucleoside 3'-S-phosphorothiolate linkages

AU Li, Xiang; Scott, Gerard K.; Baxter, Anthony D.; Taylor, Roger J.; Vyle, Joseph S.; Cosstick, Richard

CS Dep. Chem., Univ. Liverpool, Liverpool, L69 3BX, UK

SO Journal of the Chemical Society, Perkin Transactions 1: Organic and Bio-Organic Chemistry (1972-1999) (1994), (15), 2123-9
CODEN: JCPRB4; ISSN: 0300-922X

DT Journal

LA English

L16 ANSWER 6 OF 8 HCAPLUS COPYRIGHT 2008 ACS ON STN

TI Bis(N,N-diisopropylamino)trimethylsiloxyphosphine: a versatile phosphite transfer reagent; application in synthesis of phosphorus-modified nucleotides

GI For diagram(s), see printed CA Issue.

AB P-modified nucleotides, e.g., I (R = Me, PhNH, triazolyl, imidazolyl) were prepared from N6-benzoyl-2'-deoxy-5'-O-dimethoxytrityladenosine or 5'-O-dimethoxytritylthymidine, and 3'-O-acetyl-N6-benzoyl-2'-deoxyadenosine or 3'-O-acetylthymidine using the versatile phosphite-transfer reagent [(Me2CH)2N]2P(OMe)3, to give phosphites II (R = N6-benzoyladenine, thymine, R1 = N6-benzoyladenine, thymine). Treatment of II (R = R1 = thymine) with MeI or R21NCOCONR21 (R1 = anilino, triazolyl, imidazolyl) gave I. I (R = MeSO3, CF3CO2) were also prepared

AN 1990:459753 HCAPLUS <<LOGINID::20081126>>

DN 113:59753

OREF 113:10130h,10131a

TI Bis(N,N-diisopropylamino)trimethylsiloxyphosphine: a versatile phosphite transfer reagent; application in synthesis of phosphorus-modified nucleotides

AU Dabkowski, Wojciech; Michalski, Jan; Qing, Wang

CS Cent. Mol. Macromol. Stud., Pol. Acad. Sci., Lodz, PL-90-3, Pol.

SO Angewandte Chemie (1990), 102(5), 565-6

CODEN: ANCEAD; ISSN: 0044-8249

DT Journal

LA German

OS CASREACT 113:59753

L16 ANSWER 7 OF 8 HCAPLUS COPYRIGHT 2008 ACS ON STN

TI A novel approach to the synthesis of deoxynucleoside phosphorofluoridates. II

AB Trimethylsilyl esters R(R1O)P(OMe)3 [R = (Me2CH)2N, CF3CH2O; R1OH = protected deoxynucleoside with 3'-OH or 5'-OH free] react with SO2ClF in quant. and fully chemoselective way to give deoxynucleoside fluorophosphates R(R1O)P(O)F of high purity under extremely mild conditions.

AN 1989:95692 HCAPLUS <<LOGINID::20081126>>

DN 110:95692

OREF 110:15835a,15838a

TI A novel approach to the synthesis of deoxynucleoside phosphorofluoridates.

II
 AU Dabkowski, Wojciech; Cramer, Friedrich; Michalski, Jan
 CS Cent. Mol. Macromol. Stud., Pol. Acad. Sci., Bodz, PL-90-362, Pol.
 SO Tetrahedron Letters (1988), 29(27), 3301-2
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 OS CASREACT 110:95692

L16 ANSWER 8 OF 8 HCAPLUS COPYRIGHT 2008 ACS on STN
 TI A new phosphorylating agent, bis(2,2,2-trifluoroethyl) trimethylsilyl phosphite. Its application in DNA synthesis by the phosphotriester approach
 AB Treatment of (F3CCH2O)2P(O)H with Me3SiCl in the presence of Et3N gave the title compound (F3CCH2O)2POSiMe3 (I). Phosphitylation of 5'-O-protected 2'-deoxyribonucleosides with I in the absence of coupling agents followed by oxidation with m-ClC6H4C(O)OOH gave the deoxyribonucleoside 3'-(2,2,2-trifluoroethyl) phosphates, which are key intermediates for the synthesis of oligodeoxyribonucleotides by the phosphotriester approach.
 AN 1986:186800 HCAPLUS <<LOGINID::20081126>>
 DN 104:186800
 OREF 104:29597a,29600a
 TI A new phosphorylating agent, bis(2,2,2-trifluoroethyl) trimethylsilyl phosphite. Its application in DNA synthesis by the phosphotriester approach
 AU Imai, Kazuaki; Ito, Tsunehiko; Kondo, Susumu; Takaku, Hiroshi
 CS Lab. Org. Chem., Chiba Inst. Technol., Narashino, 275, Japan
 SO Nucleosides & Nucleotides (1985), 4(5), 669-79
 CODEN: NUNUD5; ISSN: 0732-8311
 DT Journal
 LA English
 OS CASREACT 104:186800

=> s silyl or TMS or TBDMS or trimethylsilyl or butyldimethylsilyl
 35039 Silyl
 6298 TMS
 913 TBDMS
 52500 TRIMETHYLSILYL
 7483 BUTYLDIMETHYLSILYL
 L17 90029 Silyl OR TMS OR TBDMS OR TRIMETHYLSILYL OR BUTYLDIMETHYLSILYL

=> s internucleotide or phosphodiester
 1192 INTERNUCLEOTIDE
 6444 PHOSPHODIESTER
 L18 7453 INTERNUCLEOTIDE OR PHOSPHODIESTER

=> s l17 and l18
 L19 80 L17 AND L18

=> s l19 and (PY<2004 or AY<2004 or PRY<2004)
 24012898 PY<2004
 4790127 AY<2004
 4261398 PRY<2004
 L20 75 L19 AND (PY<2004 OR AY<2004 OR PRY<2004)

L20 ANSWER 3 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN
 TI Oligonucleotides having modified nucleoside units with various linkages,

and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving enzymes

AB Disclosed are oligonucleotides that include one or more modified nucleoside units. The examples present the representative preparation of modified nucleosides and nucleoside amidites, for incorporation into said oligonucleotides. The oligonucleotides are particularly useful as antisense agents, ribozymes aptamer, siRNA agents, probes and primers or, when hybridized to an RNA, as a substrate for RNA cleaving enzymes including Rnase H and dsRNase.

AN 2003:951160 HCAPLUS <<LOGINID::20081126>>

DN 140:13688

TI Oligonucleotides having modified nucleoside units with various linkages, and their uses as antisense agents, ribozymes, aptamers, siRNA, probes, and primers, or when hybridized to RNA, as substrates for RNA cleaving enzymes

IN Eldrup, Anne; Cook, Phillip Dan; Parshall, Lynne B.

PA Isis Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 161 pp.

CODEN: PIXXD2

DT Patent

LA English

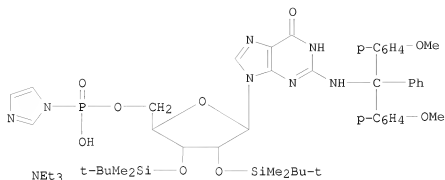
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 2003100017	A2	20031204	WO 2003-US16526	20030523 <--
	WO 2003100017	A3	20040826		
	W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
	RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
	AU 2003241621	A1	20031212	AU 2003-241621	20030523 <--
	US 20040014108	A1	20040122	US 2003-444298	20030523 <--
PRAI	US 2002-383358P	P	20020524	<--	
	WO 2003-US16526	W	20030523	<--	
OS	MARPAT 140:13688				

L20 ANSWER 6 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Unique participation of unprotected internucleotidic phosphodiester residues on unexpected cleavage reaction of the Si - O bond of the diisopropylsilyl group used as a linker for the solid-phase synthesis of 5'-terminal guanlylated oligodeoxynucleotides

GI



AB In connection with the synthesis of guanosine-capped oligodeoxynucleotides on polymer supports, we found an unprecedented Si-O bond cleavage reaction, which occurred when polymer-linked oligodeoxynucleotides having unprotected internucleotidic phosphate groups were allowed to react with the guanosine 5'-phosphorimidazole derivative (I) in the presence of 4-nitro-6-(trifluoromethyl)-1H-benzotriazol-1-ol (Ntbt-OH) as an effective activator in pyridine. This side reaction was confirmed by the fact that the liquid-phase reaction of DMTrTpT-O-Si(iPr2)OEt with a simpler model compound, Me phosphorimidazole, in the presence of Ntbt-OH gave DMTrTpT. It turned out that the side reaction hardly occurs without unprotected internucleotidic phosphate groups on oligodeoxynucleotides. The detailed study of this side reaction disclosed that Ntbt-OH directly attacks the Si-atom to release oligonucleotides from the resin. It is likely that Ntbt-OH serves as a very strong nucleophile in pyridine, especially to the Si-atom of the linker.

AN 2002:805642 HCAPLUS <<LOGINID::20081126>>

DN 138:170455

TI Unique participation of unprotected internucleotidic phosphodiester residues on unexpected cleavage reaction of the Si - O bond of the diisopropylsilyl group used as a linker for the solid-phase synthesis of 5'-terminal guanylated oligodeoxynucleotides

AU Ushioda, Masatoshi; Kadokura, Michinori; Moriguchi, Tomohisa; Kobori, Akio; Aoyagi, Morihiro; Seio, Kohji; Sekine, Mitsuo

CS Department of Life Science, Tokyo Institute of Technology, Yokohama, 226-8501, Japan

SO Helvetica Chimica Acta (2002), 85(9), 2930-2945

CODEN: HCACAV; ISSN: 0018-019X

PB Verlag Helvetica Chimica Acta

DT Journal

LA English

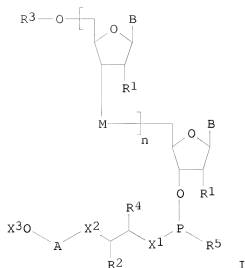
OS CASREACT 138:170455

RE.CNT 57 THERE ARE 57 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 7 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Process for the synthesis of oligonucleotides

GI



AB Synthetic processes are provided for the preparation of oligonucleotides I having phosphodiester, phosphorothioate, phosphorodithioate, or other covalent linkages wherein; A is a diradical derived from a monocyclic or bicyclic aromatic ring system; B is nucleobase; M is an optionally protected internucleoside linkage; n is 0-50; R1 is independently H, hydroxyl, alkyl, alkenyl, alkynyl, halogen, keto, carboxyl, nitro, nitroso, trifluoromethyl, trifluoromethoxy, O-alkyl, S-alkyl, NH-alkyl, N-dialkyl, O-aryl, S-aryl, NH-aryl, O-aralkyl, S-aralkyl, NH-aralkyl, amino, N-phthalimido, azido, hydrazino, hydroxylamino, isocyanato, silyl, aryl, and a radical or diradical derived from a polyamine, polyamide, polyalkylene glycol, polyether, thiol, nitrile, imidazole, sulfoxide, sulfone, sulfide or disulfide; R2 and R4 are independently H, alkyl, aryl, heteroalkyl, heteroaryl, alkaryl, and aralkyl; or R2R4 together with the carbon atoms to which they are attached form an optionally substituted aliphatic or aromatic ring having from 4 to 6 ring atoms; R3 is hydrogen, hydroxyl protecting group, or a linker connected to a solid support; R5 is amine, heterocycloalkyl, heterocycloalkenyl; X1 and X2 are independently O, S; X3 is alkaryl, aralkyl, sulfonyl, thio, substituted sulfonyl, and substituted thio, wherein said substituent is alkyl, aryl, or alkaryl.. Thus, bisacetate of 2-(2-hydroxyethoxy)phenol was prepared and used in synthesis of oligonucleotides (no data).

AN 2002:425442 HCAPLUS <<LOGINID::20081126>>

DN 137:20552

TI Process for the synthesis of oligonucleotides

IN Cheruvallath, Zacharia S.; Ravikumar, Vasulinga T.; Cole, Douglas L.

PA Isis Pharmaceuticals, Inc., USA

SO U.S., 31 pp., Cont.-in-part of U. S. Ser. No. 111,678, abandoned.

CODEN: USXXAM

DT Patent

LA English

FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6399756	B1	20020604	US 1999-349659	19990708 <--
	US 6326478	B1	20011204	US 1998-111678	19980708 <--
	US 20020055623	A1	20020509	US 2001-16465	20011211 <--
	US 6521775	B2	20030218		

US 20030149260 A1 20030807 US 2002-290587 20021108 <--
 US 6677471 B2 20040113
 PRAI US 1998-111678 B2 19980708 <--
 US 1999-349659 A3 19990708 <--
 US 2001-16465 A1 20011211 <--

RE.CNT 69 THERE ARE 69 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 8 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN
 TI Preparation of 2'-modified oligonucleotides having alternating
 internucleoside linkages as protein binding modulators
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel compds. that mimic and/or modulate the activity of wild-type nucleic acids. Oligonucleotides I which contain at least one region of 2'-modified nucleosides connected by alternating phosphodiester and phosphorothioate linkages wherein: each B is a nucleobase; one of X1 or X2 is O, and the other of X1 or X2 is S; each R1 is independently, H, hydroxyl, C1-C20 alkyl, C3-C20 alkenyl, C2-C20 alkynyl, halogen, thiol, keto, carboxyl, nitro, nitroso, nitrile, trifluoromethyl, trifluoromethoxy, O-alkyl, S-alkyl, NH-alkyl, N-dialkyl, O-aryl, S-aryl, NH-aryl, O-aralkyl, S-aralkyl, NH-aralkyl, amino, N-phthalimido, imidazole, azido, hydrazino, hydroxylamino, isocyanato, sulfoxide, sulfone, sulfide, disulfide, silyl, aryl, heterocycle, carbocycle, intercalator, reporter mol., conjugate, polyamine, polyamide, polyalkylene glycol, or polyether, n is 2-50, m is 0-1; were prepared as protein binding modulators. Thus, title oligodeoxyribonucleotides were prepared and tested for their ICAM-1 activity.

AN 2001:875242 HCAPLUS <LOGINID:20081126>
 DN 135:371961
 TI Preparation of 2'-modified oligonucleotides having alternating
 internucleoside linkages as protein binding modulators
 IN Manoharan, Muthiah
 PA Isis Pharmaceuticals, Inc., USA
 SO U.S., 28 pp., Cont.-in-part of U.S. Ser. No. 115,025.
 CODEN: USXXAM
 DT Patent
 LA English
 FAN.CNT 2

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	US 6326358	B1	20011204	US 1999-349007	19990707 <--
	US 6277967	B1	20010821	US 1998-115025	19980714 <--
	US 20020165181	A1	20021107	US 2001-965551	20010927 <--
	US 7056896	B2	20060606		
PRAI	US 1998-115025	A2	19980714	<--	
	US 1999-349007	A1	19990707	<--	

OS MARPAT 135:371961
 RE.CNT 124 THERE ARE 124 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 17 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN
 TI Preparation of 2'-modified oligonucleotides having alternating
 internucleoside linkages as protein binding modulators
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Novel compds. that mimic and/or modulate the activity of wild-type nucleic acids. Oligonucleotides I which contain at least one region of 2'-modified nucleosides connected by alternating phosphodiester and phosphorothioate linkages wherein: each B is a nucleobase; one of X1 or X2 is O, and the other of X1 or X2 is S; each R1 is independently, H, hydroxyl, C1-C20 alkyl, C3-C20 alkenyl, C2-C20 alkynyl, halogen, thiol, keto, carboxyl, nitro, nitroso, nitrile, trifluoromethyl, trifluoromethoxy, O-alkyl, S-alkyl, NH-alkyl, N-dialkyl, O-aryl, S-aryl, NH-aryl, O-aralkyl, S-aralkyl, NH-aralkyl, amino, N-phthalimido, imidazole, azido, hydrazino, hydroxylamino, isocyanato, sulfoxide, sulfone, sulfide, disulfide, silyl, aryl, heterocycle, carbocycle, intercalator, reporter mol., conjugate, polyamine, polyamide, polyalkylene glycol, or polyether, n is 2-50, m is 0-1; were prepared as protein binding modulators. Thus, title oligodeoxyribonucleotides were prepared and tested for their ICAM-1 activity.

AN 2000:68345 HCAPLUS <<LOGINID::20081126>>

DN 132:108229

TI Preparation of 2'-modified oligonucleotides having alternating internucleoside linkages as protein binding modulators

IN Manoharan, Muthiah

PA Isis Pharmaceuticals, Inc., USA

SO PCT Int. Appl., 78 pp.

CODEN: PIXXD2

DT Patent

LA English

FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2000003720	A1	20000127	WO 1999-US15347	19990707 <--
W:	AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CU, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, UZ, VN, YU, ZA, ZW			
RW:	GH, GM, KE, LS, MW, SD, SL, SZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG			
US 6277967	B1	20010821	US 1998-115025	19980714 <--
AU 9949738	A	20000207	AU 1999-49738	19990707 <--
EP 1104303	A1	20010606	EP 1999-933747	19990707 <--
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO			
FRAI US 1998-115025	A2	19980714	<--	
WO 1999-US15347	W	19990707	<--	

OS MARPAT 132:108229

RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 19 OF 75 HCAPLUS COPYRIGHT 2008 ACS ON STN

TI Synthesis and properties of RNA analogs-oligoribonucleotide N3'→P5' phosphoramidates

AB The synthesis and characterization of RNA mimetics, uniformly modified oligoribonucleotide N3'→P5' phosphoramidates containing all four natural bases (uracil, cytosine, adenine and guanine) as well as thymidine and 2,6-diaminopurine, are described. These RNA analogs contain N3'→P5' phosphoramidate internucleotide linkages which

replaced natural RNA 3'→P5' phosphodiester groups. These oligonucleotides were constructed from novel monomeric units (2'-t-butylidimethylsilyl)-3'-(monomethoxytrityl)-amino-nucleoside-5'-phosphoramidites, the preparation of which is also presented. Several mixed base 9-13mer oligoribonucleotide phosphoramidates were synthesized with step-wise coupling yields of 96-98%. Thermal denaturation expts. demonstrated that ribo-N3'→P5' phosphoramidates form stable duplexes with a complementary RNA strand. Thus, the melting temperature (Tm)

of

a duplex formed by a 13mer ribo-N3'→P5' phosphoramidate (84°C) was higher than that observed for the iso-sequential natural RNA oligomer (64.0°C), or for the 2'-deoxy-N3'→P5' phosphoramidate counterpart (71.7°C). Moreover, substitution of adenine by 2,6-diaminopurine in an oligoribophosphoramidate pentamer resulted in a very significant increase in the duplex melting temperature (.apprx.7°C per base substitution). The RNA phosphoramidates also showed similar rates of hydrolysis by both RNase A and RNase T1 as compared to natural RNA oligomers. The data presented indicate that this class of RNA analogs may be used as structural and functional RNA mimetics.

AN 1999:725343 HCAPLUS <<LOGINID:20081126>>

DN 132:251363

TI Synthesis and properties of RNA analogs-oligoribonucleotide N3'→P5' phosphoramidates

AU Matray, Tracy J.; Gryaznov, Sergei M.

CS Geron Corp., Menlo Park, CA, 94025, USA

SO Nucleic Acids Research (1999), 27(20), 3976-3985

CODEN: NARHAD; ISSN: 0305-1048

PB Oxford University Press

DT Journal

LA English

RE.CNT 34 THERE ARE 34 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 25 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

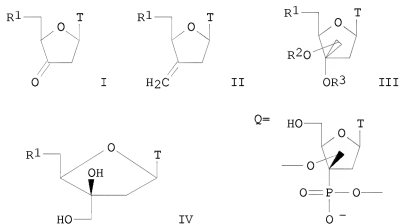
TI Trimethylsilyl derivatization of nucleic acid anions in the gas phase

AB Ion-mol. reactions between nucleic acid anions, [M-nH]n-, formed via electrospray ionization, and trimethylsilylchloride have been investigated in an ion trap mass spectrometer at a helium bath gas pressure of 1 mtorr. Three types of reactions are observed: (i) SN2(Si) when n>1; (ii) adduct formation when n=1; and (iii) addition followed by elimination of HCl when n=1 and where an acidic phosphate proton is present (e.g., 5'-pdA). The kinetics of these reactions have been studied for various anions derived from the following deoxyadenosine species: 5'-pdA; 5'-pppdA, 5'-d(AA)-3'; 5'-d(AAA)-3' and 5'-d(AAAA)-3'. The following reactivity order is observed: [M-2H]2- of 5'-pppdA>[M-2H]2- of 5'-d(AAA)-3'>[M-3H]3- of 5'-d(AAAA)-3'>[M-3H+TMS]2- of 5'-d(AAAA)-3'>[M-2H]2- of 5'-d(AAAA)-3'>[M-H]- of 5'-pdA>[M-H]- of 5'-d(AA)-3'>[M-H]- of 5'-d(AAA)-3'. In addition, the collision-induced dissociation reactions of the products of these reactions have been studied. Decomposition reactions are consistent with trimethylsilyl attachment on the phosphodiester linkage(s) in oligonucleotides and on the phosphate moieties of 5'-pdA and 5'-pppdA. Comparison of data acquired for modified and unmodified oligonucleotide anions of the same charge state reveal that TMS modification can significantly alter the favored dissociation channels, giving rise to sequence information. The results suggest that gas phase TMS derivatization of oligonucleotide anions, combined with tandem mass spectrometry, can provide sequence information complementary to that derived from unmodified anions.

AN 1997:360495 HCAPLUS <<LOGINID:20081126>>

DN 127:91790
 OREF 127:17569a,17572a
 TI Trimethylsilyl derivatization of nucleic acid anions in the gas phase
 AU O'Hair, Richard A. J.; McLuckey, Scott A.
 CS School of Chemistry, University of Melbourne, Parkville, Victoria, Australia
 SO International Journal of Mass Spectrometry and Ion Processes (1997), 162(1-3), 183-202
 CODEN: IJMPDN; ISSN: 0168-1176
 PB Elsevier
 DT Journal
 LA English
 RE.CNT 76 THERE ARE 76 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L20 ANSWER 38 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN
 TI Synthesis of novel 3'-C-(hydroxymethyl)thymidines and oligodeoxynucleotide analogs containing compressed 3'-C-hydroxymethyl-linked phosphodiester backbones
 GI



AB Lombardo methylenation of the novel 2'-deoxy-3'-ketonucleosides (I; T = thymine-1-yl; R1 = H, Me3CMe2SiO) using CH2Br2, Zn dust, and TiCl4 afforded 2',3'-dideoxy-3'-C-methylene nucleosides (II; R11 = same as above), which were subjected to catalytic dihydroxylation reactions using OsO4, N-methylmorpholine N-oxide, and pyridine. In the case of 5'-deoxynucleoside II (R1 = H), a 1:1 mixture of 3'-C-hydroxymethyl diastereoisomers (III; R1 = R2 = R3 = H) and (IV; R1 = H) was obtained, whereas the 5'-O-silylated nucleoside II (R1 = Me3CMe2SiO) afforded 3'-C-(hydroxymethyl)thymidine derivative III (R1 = Me3CMe2SiO, R2 = R3 = H) as the only product. Sharpless asym. dihydroxylation of I (R1 = H) proceeded in low yield to give III (R1 = H) and IV (R1 = H) as a 10:3 mixture 5'-O-silylated nucleoside III (R1 = Me3CMe2SiO, R2 = R3 = H) was converted into the phosphoramidite synthon III [R1 = Me3CMe2SiO, R2 = 4,4'-dimethoxytrityl, R3 = P(OCH2CH2CN)N(iso-Pr)2], which was applied in automated synthesis of oligodeoxynucleotides containing novel compressed 3'-C-hydroxymethyl-linked phosphodiester backbones, i.e.

5'-d(CACCAACXTCTTCACA)-3' and 5'-d(TTAACCTCTTCACATXC)-3'.

AN 1995:767982 HCAPLUS <<LOGINID:20081126>>
 DN 124:30220
 OREF 124:5807a,5810a

TI Synthesis of novel 3'-C-(hydroxymethyl)thymidines and oligodeoxynucleotide analogs containing compressed 3'-C-hydroxymethyl-linked phosphodiester backbones

AU Wengel, Jesper; Svendsen, Margit L.; Joergensen, Pia N.; Nielsen, Claus
 CS Dep. Chemistry, Odense Univ., Odense, DK-2300, Den.
 SO Nucleosides & Nucleotides (1995), 14(7), 1465-79
 CODEN: NUNUD5; ISSN: 0732-8311

PB Dekker
 DT Journal
 LA English
 OS CASREACT 124:30220

L20 ANSWER 39 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of backbone modified oligonucleotide analogs through radical coupling

AB Methods for preparing antisense oligonucleotide analogs containing azaalkylenes (CH₂RANHCH₂, (CH₂)₂NHRA, RANH(CH₂)₂, wherein RA = O, R1N and R1 = H, C1-10 alkyl, C2-10 alkenyl, C2-10 alkynyl, alkaryl, etc., all of which are optionally substituted) which have improved nuclease resistance and improved cellular uptake are provided. The oligonucleotide analogs can have altered sugar moieties, altered base moieties or altered inter-sugar linkages. In preferred embodiments, the methods involve radical coupling of 3'- and 5'-substituted or 5'- and 3'-substituted nucleosidic synthons. 3'-O-amino-5'-O-(tert-butylidimethylsilyl)thymidine (preparation given), 3'-O-(tert-butylidimethylsilyl)thymidine-5'-aldehyde and AcOH are stirred in CH₂Cl₂ to give the intermediate oxime, treated with NaCNBH₃ to give the imine, which was treated with addnl. NaCNBH₃ and aqueous HCHO to give the methylated imine and this treated with B₄N⁺ F⁻ to give 3'-dephosphinico-3'-O-(methylimino)thymidylyl-(3'→5')-5'-deoxythymidine. Phosphodiesterase degradation was achieved with 5'-GCGTTTTT(3'-CH₂NMeOCH₂-4')TTTTGCG3'. In a nuclease degradation study the tetramer TTTT which contains no phosphodiester linkage, showed complete stability >60 h of incubation in cell extract, suggesting that an end-capped (3' and 5') oligomer containing achiral and neutral backbone will have enhanced half-life.

AN 1995:767390 HCAPLUS <<LOGINID:20081126>>
 DN 123:228785
 OREF 123:40891a,40894a

TI Preparation of backbone modified oligonucleotide analogs through radical coupling

IN Sanghvi, Yogesh S.; Cook, Phillip Dan
 PA Isis Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 71 pp.
 CODEN: PIXXD2

DT Patent
 LA English
 FAN.CNT 326

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9422894	A1	19941013	WO 1994-US3322	19940328 <--
	W: CA, JP				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE				
	AU 9726244	A	19971106	AU 1997-26244	19970624 <--
	AU 713740	B2	19991209		
	US 6232463	B1	20010515	US 1998-128508	19980804 <--
PRAI	US 1993-40933	A	19930331	<--	
	AU 1993-38025	A3	19930225	<--	

US 1997-948151 A1 19971009 <--
 OS CASREACT 123:228785; MARPAT 123:228785

L20 ANSWER 40 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN
 TI Synthesis of dimer blocks and their use in assembling oligonucleotides
 AB Dimer blocks having an alkylphosphonate, phosphoramidate, phosphorothioate or alkylphosphonothioate internucleotide linkage are prepared by condensing a 1st nucleoside derivative having a protective group at a 5' end and a condensing group at a 3' end with a second nucleoside derivative having a protective group at a 3' end and a hydroxyl group at a 5' end to form a dinucleotide derivative having a reduced internucleotide linkage, and oxidizing the internucleotide linkage with an appropriate oxidizing agent. 5'-O-dimethoxytritylthymidine-3'-O-Me N,N-diisopropylphosphoramidite and N4-benzoyl-3'-O-(tert-butyl)dimethylsilyl-2'-deoxycytidine to give in 2 steps the title dimer 5'-O-(dimethoxytrityl)thymidine-3'-O-Me phosphorothioate-5'-O-N4-benzoyl-2'-deoxycytidine (I). PC13 was added to triazole in CH2Cl2 followed by 4-methylmorpholine and to the mixture was added I to give the H-phosphonate of I (II). I and II were used in the synthesis of the oligonucleotide 5'-CtctcGCACCCAtctctcCTtcT-3'; at the lower case letters coupling was carried out using I, the rest of the sequence was assembled using H-phosphonates. After the assembly of the above sequence, CPG bound oligomer was oxidized using 5% S in Et3N/pyridine/CS2 to convert H-phosphonate linkages to phosphorothioate linkages, MEO were removed by treatment with PhSH and deprotection with concentrated NH4OH at 55° for 10 h.

AN 1995:502931 HCAPLUS <<LOGINID:20081126>>
 DN 123:9871

OREF 123:2075a,2078a

TI Synthesis of dimer blocks and their use in assembling oligonucleotides
 IN Tang, Jin-yan; Iadarola, Patricia L.; Agrawal, Sudhir
 PA Hybridon, Inc., USA
 SO PCT Int. Appl., 43 pp.
 CODEN: PIXXD2

DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 9415946	A1	19940721	WO 1994-US296	19940107 <--
W: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, JP, KP, KR, KZ, LK, LU, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, US, VN				
RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG				
CA 2153505	A1	19940721	CA 1994-2153505	19940107 <--
AU 9460243	A	19940815	AU 1994-60243	19940107 <--
AU 673051	B2	19961024		
EP 678096	A1	19951025	EP 1994-906568	19940107 <--
EP 678096	B1	19970319		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
CN 1122137	A	19960508	CN 1994-191181	19940107 <--
JP 08507752	T	19960820	JP 1994-516255	19940107 <--
AT 150464	T	19970415	AT 1994-906568	19940107 <--
ES 2100051	T3	19970601	ES 1994-906568	19940107 <--
FI 9503363	A	19950707	FI 1995-3363	19950707 <--
FRAI US 1993-2823	A2	19930108	<--	
WO 1994-US296	W	19940107	<--	
OS MARPAT 123:9871				

L20 ANSWER 46 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Diisopropylsilyl-linked oligonucleotide analogs: solid-phase synthesis and physicochemical properties

AB A novel synthetic method has been developed for efficient preparation of silyl-linked oligodeoxyribonucleotide analogs. The method allows, for the first time, automated solid-phase synthesis of long oligomers uniformly linked with the silyl internucleoside bridge. Synthesis of a thymidylate decanucleotide analog illustrates this advance. The preparation of chimeric oligodeoxyribonucleotides containing single or multiple diisopropylsilyl backbone structures along with natural phosphodiester links is also described. These mixed backbone DNA strands were soluble and chemical stable in buffered aqueous solutions, as required for physicochem. study. These oligomers demonstrated excellent stability toward cleavage by 3'-exonuclease and good binding affinity with complementary oligonucleotides.

AN 1994:192165 HCAPLUS <<LOGINID:20081126>>
 DN 120:192165
 OREF 120:34035a,34038a

TI Diisopropylsilyl-linked oligonucleotide analogs: solid-phase synthesis and physicochemical properties

AU Saha, Ashis K.; Sardaro, Mark; Waychunas, Cheryl; Delecki, Daniel; Kruse, L. I.; Kutny, Rusty; Cavanaugh, Paul; Yawman, Anne; Upson, Donald A.
 CS Dep. Med. Chem., Sterling Winthrop Inc., Malvern, PA, 19355, USA
 SO Journal of Organic Chemistry (1993), 58(27), 7827-31
 CODEN: JOCEAH; ISSN: 0022-3263

DT Journal
 LA English

L20 ANSWER 47 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Oligonucleotide analogs for use in antisense therapy with enhanced nuclease resistance, ability to activate RNase H, and affinity for complementary target nucleic acid

AB Oligonucleotide analogs with increased nuclease resistance (due to alteration of the backbone), with increased binding affinity for the complementary nucleic acid (due to 2' substituents), and with enhanced ability to activate RNase H due to the presence of 2'-deoxy-erythro-pentofuranosyl nucleotides are described. These analogs are useful for diagnosis, detection, and treatment of conditions susceptible to antisense therapy. A ras-luciferase reporter gene in which the ras sequence contained the point mutation of activated H-ras was prepared and introduced into HeLa cells. The ability of various 18-mer phosphorothioate-linked oligonucleotides to inhibit expression of this chimeric gene was determined. The oligonucleotide analogs contained only 2'-deoxy-erythro-pentofuranosyl nucleotides (I), or a mixture of I and 2'-O-methyl-substituted nucleotides (II). The analog containing only I displayed an approx. 3-fold selectivity towards the mutant ras sequence as compared to the normal ras sequence. Each of the analogs containing II as well as I exhibited greater inhibition of luciferase activity than did that containing only I. Identical analogs containing phosphodiester bonds instead of phosphorothioate linkages were totally inactive.

AN 1993:662536 HCAPLUS <<LOGINID:20081126>>
 DN 119:262536
 OREF 119:46689a

TI Oligonucleotide analogs for use in antisense therapy with enhanced nuclease resistance, ability to activate RNase H, and affinity for complementary target nucleic acid

IN Cook, Phillip Dan
 PA ISIS Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 67 pp.
 CODEN: PIXXD2

DT Patent
LA English
FAN.CNT 326

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	WO 9313121	A1	19930708	WO 1992-US11339	19921223 <--
	W: AU, BB, BG, BR, CA, CS, FI, HU, JP, KP, KR, LK, MG, MN, MW, NO, NZ, PL, RO, RU, SD, US				
	RW: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, SN, TD, TG				
	CA 2126691	A1	19921223	CA 1992-2126691	19921223 <--
	CA 2126691	C	20030506		
	AU 9334275	A	19930728	AU 1993-34275	19921223 <--
	AU 669353	B2	19960606		
	EP 618925	A1	19941012	EP 1993-902851	19921223 <--
	EP 618925	B1	20010829		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LI, LU, MC, NL, PT, SE				
	JP 06511155	T	19941215	JP 1993-511953	19921223 <--
	JP 3131222	B2	20010131		
	EP 1044987	A2	20001018	EP 2000-202252	19921223 <--
	EP 1044987	A3	20011004		
	EP 1044987	B1	20060215		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	JP 2001002696	A	20010109	JP 2000-143468	19921223 <--
	AT 204879	T	20010915	AT 1993-902851	19921223 <--
	AT 317848	T	20060315	AT 2000-202252	19921223 <--
	EP 1695979	A2	20060830	EP 2006-75176	19921223 <--
	EP 1695979	A3	20060906		
	R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE				
	US 5623065	A	19970422	US 1994-244993	19940621 <--
	US 7015315	B1	20060321	US 1995-465866	19950606 <--
	US 5965722	A	19991012	US 1997-848840	19970430 <--
	AU 9726244	A	19971106	AU 1997-26244	19970624 <--
	AU 713740	B2	19991209		
	US 6277603	B1	20010821	US 1998-108911	19980701 <--
	US 6232463	B1	20010515	US 1998-128508	19980804 <--
	US 6399754	B1	20020604	US 1998-135202	19980817 <--
	US 6326199	B1	20011204	US 1999-453514	19991201 <--
	US 20030004325	A1	20030102	US 2001-996263	20011128 <--
	US 7138517	B2	20061121		
	US 20040038274	A1	20040226	US 2003-601242	20030620 <--
	US 20050153921	A1	20050714	US 2004-1386	20041201 <--
	US 20060270624	A1	20061130	US 2006-457715	20060714 <--
	US 20070032446	A1	20070208	US 2006-457703	20060714 <--
PRAI	US 1991-814961	A2	19911224	<--	
	US 1990-463358	B2	19900111	<--	
	US 1990-566977	B2	19900813	<--	
	WO 1991-US243	W	19910111	<--	
	WO 1991-US5720	W	19910812	<--	
	US 1991-801168	B1	19911120	<--	
	US 1991-814861	B2	19911224	<--	
	US 1992-835932	A2	19920305	<--	
	US 1992-854634	B2	19920701	<--	
	US 1992-958134	B2	19921005	<--	
	EP 1993-902851	A3	19921223	<--	
	EP 2000-202252	A3	19921223	<--	
	JP 1993-511953	A3	19921223	<--	
	WO 1992-US11339	W	19921223	<--	
	US 1993-7996	B2	19930121	<--	
	AU 1993-38025	A3	19930225	<--	
	US 1993-39979	B1	19930330	<--	

US 1993-40526	A2	19930331	<--
US 1993-40903	A3	19930331	<--
US 1993-40933	B1	19930331	<--
WO 1993-US9346	B1	19931001	<--
US 1993-158352	A3	19931124	<--
US 1994-227180	A2	19940413	<--
US 1994-244993	A2	19940621	<--
US 1994-300072	A3	19940902	<--
US 1994-317289	A2	19941003	<--
US 1994-335046	A2	19941107	<--
US 1995-411734	A2	19950403	<--
US 1995-465866	A2	19950606	<--
US 1995-465880	A2	19950606	<--
US 1995-468037	A2	19950606	<--
US 1995-471973	A3	19950606	<--
US 1995-488256	A2	19950607	<--
US 1997-794493	A2	19970204	<--
US 1997-861306	A3	19970421	<--
US 1997-877317	A3	19970617	<--
US 1997-948151	A1	19971009	<--
US 1997-67458P	P	19971204	<--
WO 1998-US13966	W	19980706	<--
US 1998-135202	A1	19980817	<--
US 1998-144611	A3	19980831	<--
US 1998-203716	A1	19981202	<--
US 1999-343809	B1	19990630	<--
US 1999-453514	A3	19991201	<--
US 2000-462280	B2	20000301	<--
US 2000-684254	A2	20001006	<--
US 2001-781712	A2	20010212	<--
US 2001-799848	A1	20010305	<--
US 2001-951052	A1	20010912	<--
US 2003-601242	A1	20030620	<--

L20 ANSWER 54 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Enzymic and NMR analysis of oligoribonucleotides synthesized with 2'-tert-butylidimethylsilyl protected cyanoethylphosphoramidite monomers

AB The regioisomeric integrity of the internucleotide phosphate linkage in synthetic RNA using 2'-tert-butylidimethylsilyl protection was examined using enzymic and NMR techniques. Two sets of DNA-RNA hybrid nonamers, T3XT5 and T5XT3 (where X = rA, rC, rG, or U) and the tetramer AGCU were analyzed. Enzyme-catalyzed hydrolysis of the nonamers with RNase T2 showed that the linkage at the ribonucleotide was the desired 3'-5'. A control nonamer with a 2'-5' linkage was subjected to the enzyme, and showed no cleavage. High-resolution proton NMR of the tetramer also gave a favorable comparison with the same mol. obtained by nonchem. means.

AN 1990:532685 HCAPLUS <<LOGINID::20081126>>

DN 113:132685

OREF 113:22567a,22570a

TI Enzymic and NMR analysis of oligoribonucleotides synthesized with 2'-tert-butylidimethylsilyl protected cyanoethylphosphoramidite monomers

AU Wang, Yu Ying; Lyttle, Matthew H.; Borer, Philip N.

CS Dep. Chem., Syracuse Univ., Syracuse, NY, 13244, USA

SO Nucleic Acids Research (1990), 18(11), 3347-52

CODEN: NARHAD; ISSN: 0305-1048

DT Journal

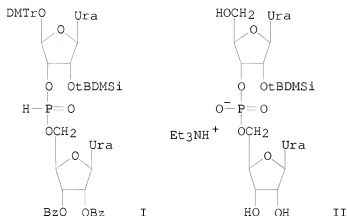
LA English

L20 ANSWER 58 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Studies on the t-butylidimethylsilyl group as 2'-O-protection in

oligoribonucleotide synthesis via the H-phosphonate approach

GI



AB Two model compds. I (Ura = uracilyl, DMTr = dimethoxytrityl) and II (tBDMSi = tert-butyldimethylsilyl) have been studied to test the stability of the tert-butyldimethylsilyl group towards conditions used during chemical synthesis of RNA fragments by the H-phosphonate approach. When I was treated with anhydrous acid for 16 h both the H-phosphonate diester and the t-BDMSi group remained intact. Removal of the t-BDMSi group from II with 1.0 M tetrabutylammonium fluoride in THF was complete within 4 h and neither concomitant cleavage nor migration of the phosphodiester linkage could be detected even after 24 h. II was not completely stable towards concentrated aqueous ammonia and both

loss of the t-BDMSi group and concomitant cleavage of the phosphodiester linkage occurred upon prolonged treatment. These reactions were substantially suppressed in ethanol containing ammonia solns., however to alleviate this problem during oligoribonucleotide synthesis, more labile protecting groups for heterocyclic bases would be desired. 2'-O-tBDMSi can be considered as a convenient and safe protecting group, which should secure synthesis of oligoribonucleotides with exclusively 3'-5' which should secure synthesis of oligoribonucleotides with exclusively 3'-5' internucleotidic linkages.

AN 1989:213270 HCAPLUS <<LOGINID::20081126>>

DN 110:213270

OREF 110:35411a,35414a

TI Studies on the t-butyldimethylsilyl group as 2'-O-protection in oligoribonucleotide synthesis via the H-phosphonate approach

AU Stawinski, Jacek; Stroemberg, Roger; Thelin, Mats; Westman, Erik

CS Dep. Org. Chem., Univ. Stockholm, Stockholm, S-106 91, Swed.

SO Nucleic Acids Research (1988), 16(19), 9285-98

CODEN: NARHAD; ISSN: 0305-1048

DT Journal

LA English

L20 ANSWER 59 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Preparation of internucleotide phosphate analogs via the corresponding hydrogen-phosphonate diester

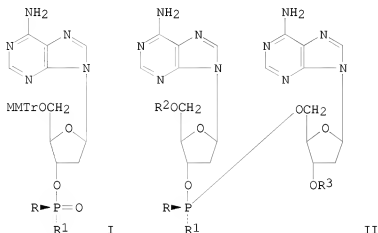
GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB Silylation of an H-phosphonate diester I with N,O-bis(trimethylsilyl)acetamide or tert-butyldimethylsilyl chloride affords 3',5'-internucleotidic phosphite triester intermediates II (R = Me, Me3C). Arbuzov reaction of the latter compds. with R1X [R1 = 4,4'-dimethoxytrityl, (2-nitrophenyl)sulfonyl, 4-chlorobenzoyl, X = Cl; R1 = Me, X = iodo; R1 = allyl, X = Br] gives the corresponding phosphonate derivs. III (R1 = as above).
- AN 1989:173673 HCAPLUS <<LOGINID::20081126>>
DN 110:173673
OREF 110:28829a,28832a
TI Preparation of internucleotide phosphate analogs via the corresponding hydrogen-phosphonate diester
AU De Vroom, E.; Dreef, C. E.; Van den Elst, H.; Van der Marel, G. A.; Van Boom, J. H.
CS Dep. Org. Chem., Univ. Leiden, Leiden, 2300 RA, Neth.
SO Recueil des Travaux Chimiques des Pays-Bas (1988), 107(10), 592-4
CODEN: RTCPA3; ISSN: 0165-0513
DT Journal
LA English
OS CASREACT 110:173673
- L20 ANSWER 61 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN
TI Synthesis of hexanucleotide analogs containing diisopropylsilyl internucleotide linkages
- AB The synthesis of two silyl-linked hexanucleotide analogs is described. Hypochromicity and CD measurements indicate that the thymidine hexanucleotide analog bears a strong resemblance to its phosphodiester-linked counterpart.
- AN 1989:8579 HCAPLUS <<LOGINID::20081126>>
DN 110:8579
OREF 110:1579a,1582a
TI Synthesis of hexanucleotide analogs containing diisopropylsilyl internucleotide linkages
AU Cormier, James F.; Ogilvie, Kevin K.
CS Dep. Chem., McGill Univ., Montreal, QC, H3A 2K6, Can.
SO Nucleic Acids Research (1988), 16(10), 4583-94
CODEN: NARHAD; ISSN: 0305-1048
DT Journal
LA English
- L20 ANSWER 63 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN
TI A new strategy for dinucleotide synthesis via a phosphite route involving phosphorochloridates as intermediates
- AB Readily available nucleoside trimethylsilyl phosphites and analogous compds. are transformed in high yield into the corresponding phosphorochloridates by reaction with SOCl2. These compds. are employed as efficient reagents for internucleotide linkage formation.
- AN 1988:187166 HCAPLUS <<LOGINID::20081126>>
DN 108:187166
OREF 108:30771a,30774a
TI A new strategy for dinucleotide synthesis via a phosphite route involving phosphorochloridates as intermediates
AU Dabkowski, Wojciech; Cramer, Friedrich; Michalski, Jan
CS Cent. Mol. Macromol. Stud., Pol. Acad. Sci., Lodz, PL-90-362, Pol.

SO Tetrahedron Letters (1987), 28(31), 3559-60
 CODEN: TELEAY; ISSN: 0040-4039
 DT Journal
 LA English
 OS CASREACT 108:187166

L20 ANSWER 64 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN
 TI Stereospecific formation of the P-chiral internucleotide linkage. Synthesis of diastereoisomeric 2'-deoxyadenylyl(3',5')2'-deoxyadenylyl S-methyl phosphorothioates via nucleoside hydroxyl activation
 GI



AB Phosphorylation of 5'-O-monomethoxytrityl-2'-deoxyadenosine with 50% molar excess of p-O2NC6H4OP(O)(NHPh)Cl in pyridine, followed by chromatog. separation gave phosphoramidates [I; MMTr = monomethoxytrityl; R = PhNH, R1 = p-O2NC6H4O (Sp isomer); R = p-O2NC6H4O, R1 = PhNH (Rp isomer)], which were treated with NaH and CS2 in dioxane-DMF and then with MeI in Me2CO to give phosphorothioates [I; R = MeS, R1 = p-O2NC6H4O (Rp isomer); R = p-O2NC6H4O, R1 = MeS (Sp isomer)]. The above phosphorothioates were treated with BuLi and 3'-O-tert-butyldimethylsilyl-2'-deoxyadenosine in THF to give dinucleotides with P-chiral internucleotide linkage [II; R = O, R1 = MeS (Rp isomer); R = MeS, R1 = O (Sp isomer); R2 = MMTr, R3 = Si(CMe3)Me2], which were deprotected to give II (R = O, R1 = S; R = S, R1 = O; R2 = R3 = H).

AN 1987:423641 HCAPLUS <<LOGINID:20081126>>

DN 107:23641

OREF 107:4015a,4018a

TI Stereospecific formation of the P-chiral internucleotide linkage. Synthesis of diastereoisomeric 2'-deoxyadenylyl(3',5')2'-deoxyadenylyl S-methyl phosphorothioates via nucleoside hydroxyl activation

AU Lesnikowski, Zbigniew J.; Sibinska, Anna

CS Cent. Mol. Macromol. Stud., Pol. Acad. Sci., Lodz, 90-362, Pol.

SO Tetrahedron (1986), 42(18), 5025-34

CODEN: TETRAB; ISSN: 0040-4020

DT Journal

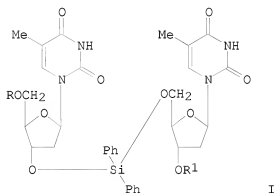
LA English

OS CASREACT 107:23641

L20 ANSWER 66 OF 75 HCAPLUS COPYRIGHT 2008 ACS on STN

TI Synthesis of a thymidine dinucleotide analog containing an internucleotide silyl linkage

GI



AB Sequential treatment of 5'-O-dimethoxytriylthymidine with Ph_2SiCl_2 (THF, pyridine) and 3'-O-levulinylthymidine gave 53% protected dinucleotide analog I (R = dimethoxytrityl, R1 = levulinyl), which on detritylation with ZnBr_2 gave 78% I (R = H, R1 = levulinyl), which on deprotection with $\text{N}_2\text{H}_4 \cdot \text{H}_2\text{O}$ gave 67% I (R = R1 = H).

AN 1986:207580 HCAPLUS <<LOGINID::20081126>>

DN 104:207580

OREF 104:32921a,32924a

TI Synthesis of a thymidine dinucleotide analog containing an internucleotide silyl linkage

AU Ogilvie, K. K.; Cormier, J. F.

CS Dep. Chem., McGill Univ., Montreal, QC, H3A 2K6, Can.

SO Tetrahedron Letters (1985), 26(35), 4159-62

CODEN: TELEAY; ISSN: 0040-4039

DT Journal

LA English

OS CASREACT 104:207580